TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487688	A1	20031211	CA 2003-2487688	20030529
AU 2003241903	A1	20031219	AU 2003-241903	20030529
BR 2003011425	A	20050315	BR 2003-11425	20030529
EP 1553084	A1	20050713	EP 2003-733151	20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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IN 2004KN01942	A	20061201	IN 2004-KN1942	20041216
NO 2004005701	A	20050216	NO 2004-5701	20041229

PRIORITY APPLN. INFO.:

JP 2002-159338	A	20020531
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OTHER SOURCE(S): MARPAT 140:27765

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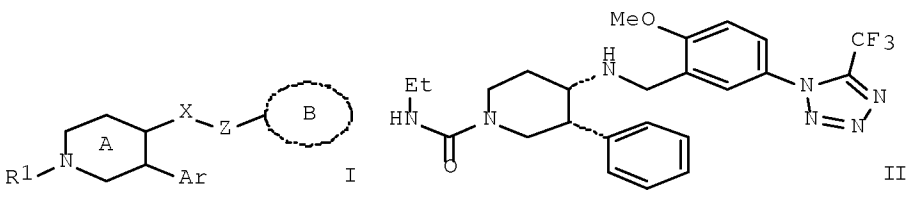

AB The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R1 = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = O or (un)substituted NH; Z = (un)substituted CH2; ring A = (un)substituted piperidine; ring B = (un)substituted aryl; with exclusions] or prodrugs or salts thereof are prepared I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For example, the compound II•xHCl was prepared in a multi-step synthesis. II showed antagonistic activity with IC50 of 0.025 nM against human substance P receptor. Formulations containing I as an active ingredient were also described.

IT 632352-46-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence)

RN 632352-46-6 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 1,2-dihydro-5-[2-[(3R,4S)-4-[[[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]amino]-3-phenyl-1-piperidinyl]-2-oxoethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.